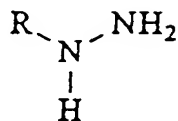


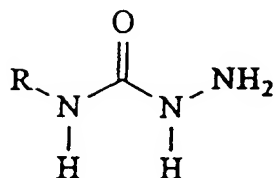


Replacement Sheet

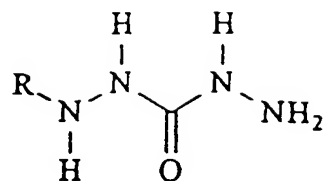
Sheet 1 of 9



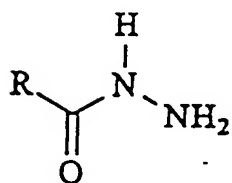
hydrazine



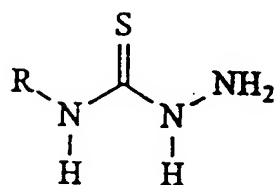
semicarbazide



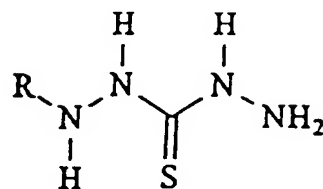
carbazine



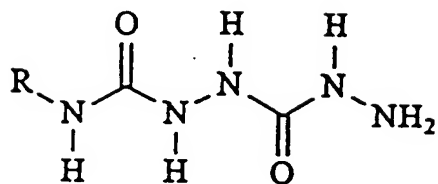
hydrazide



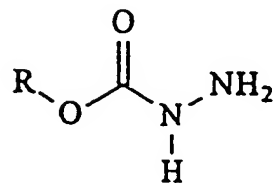
thiosemicarbazide



thiocarbazine



carbonic acid dihydrazine



hydrazine carboxylate

FIG. 1

Replacement Sheet

Sheet 2 of 9

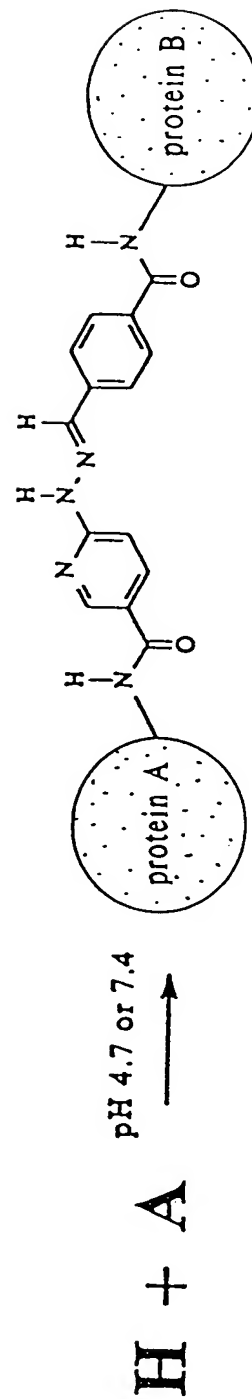
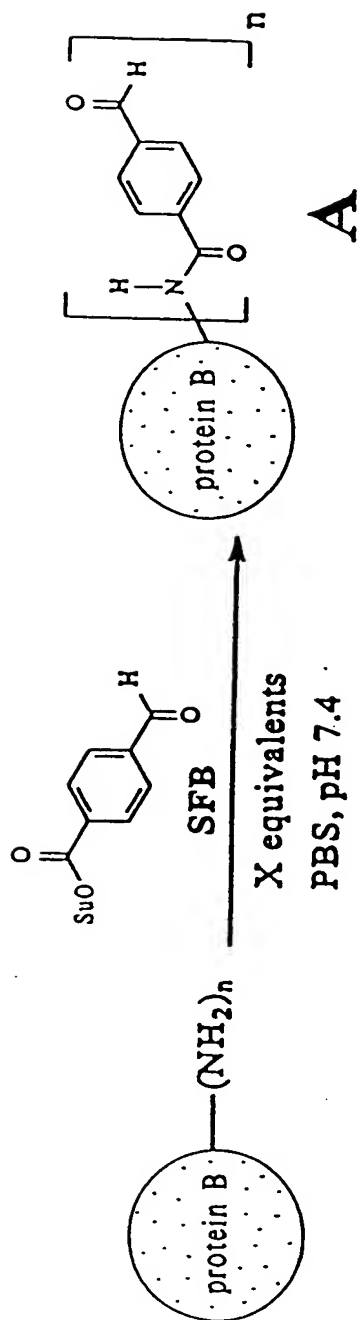
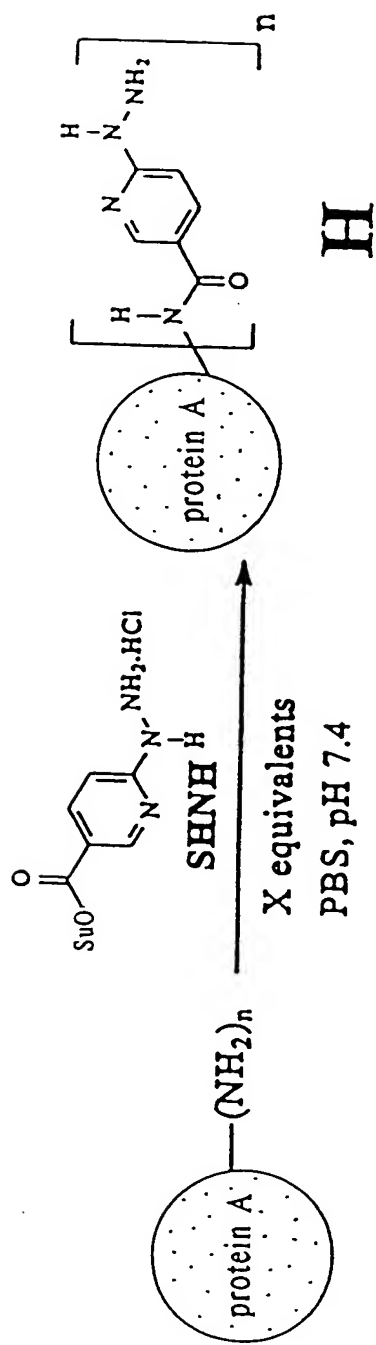


FIG. 2

Replacement Sheet

Sheet 3 of 9

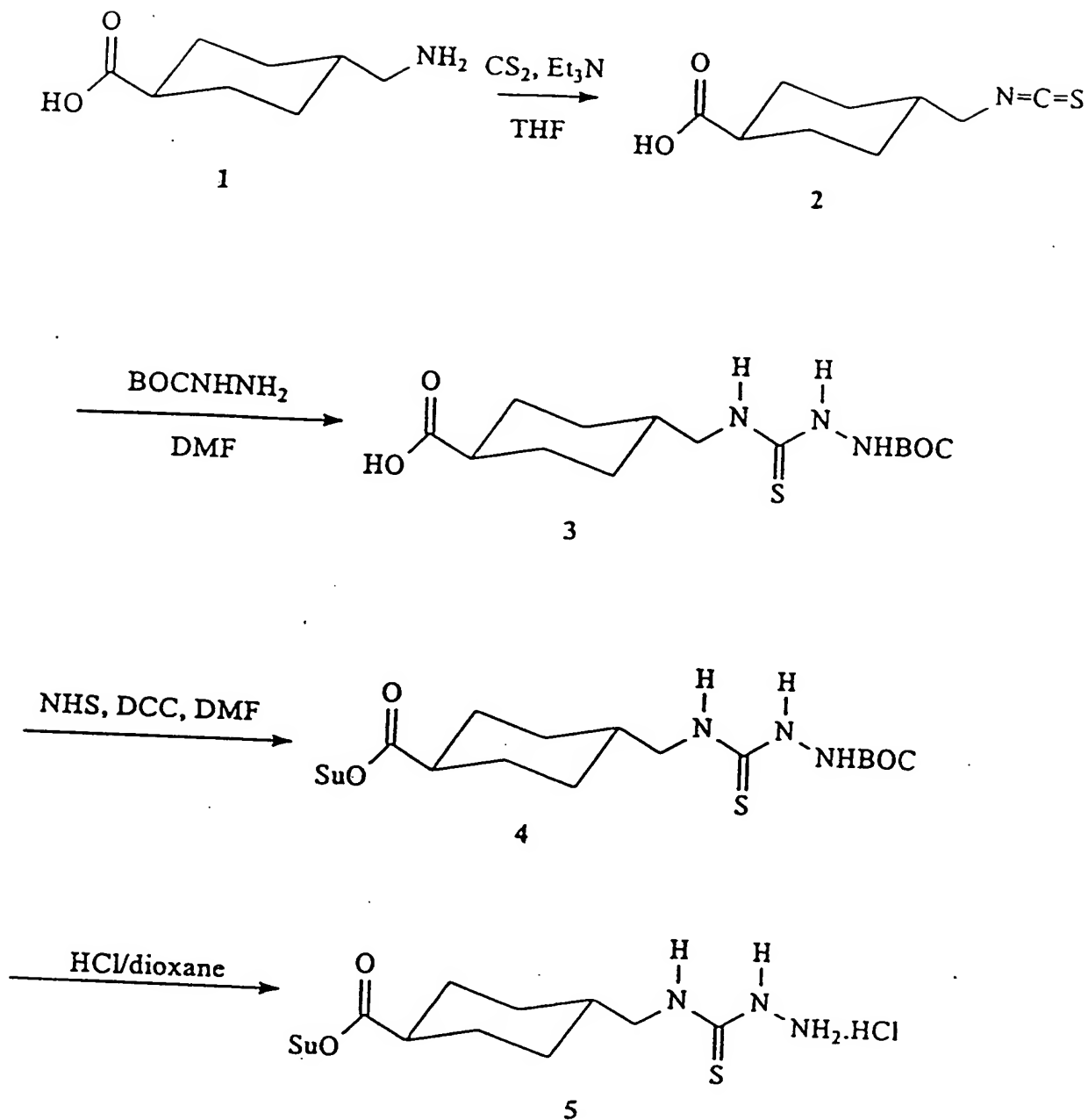


FIG. 3

Replacement Sheet

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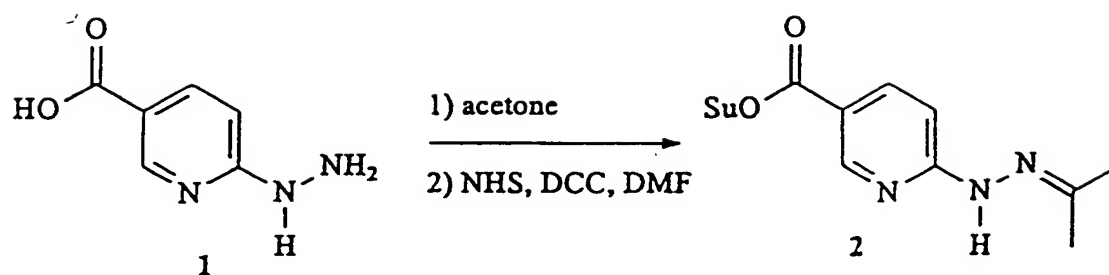
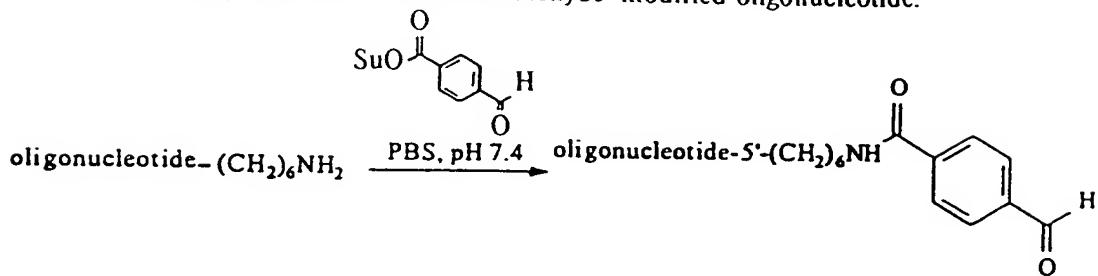


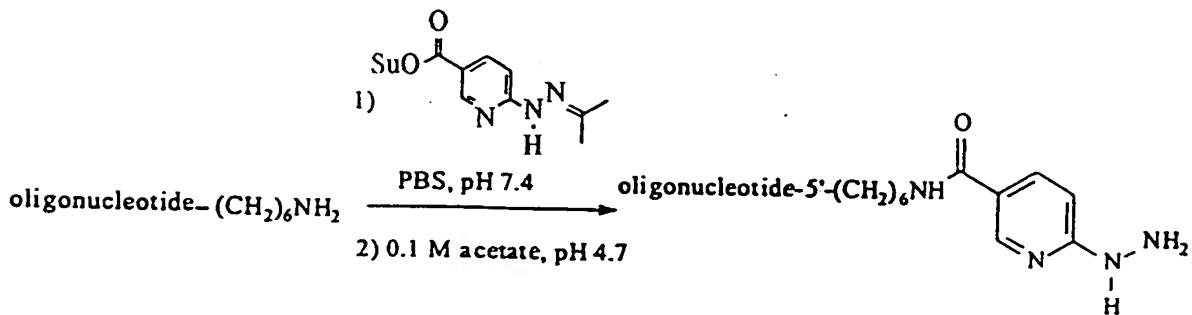
FIG. 4

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Preparation of 5'-aromatic aldehyde-modified oligonucleotide:



Preparation of 5'-heteroaromatic hydrazine-modified oligonucleotide:



Conjugation of hydrazino-modified oligonucleotide to aldehyde-modified oligonucleotide

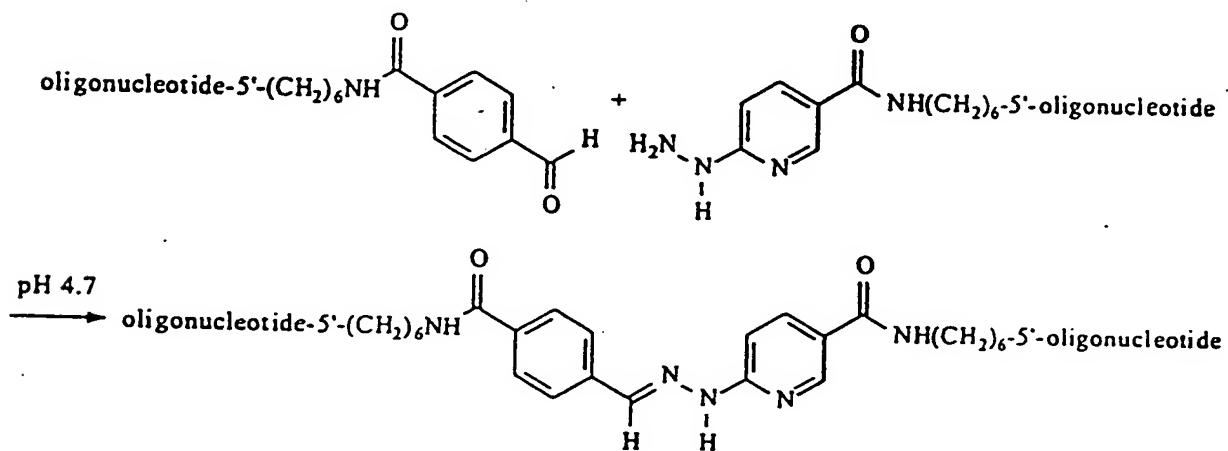
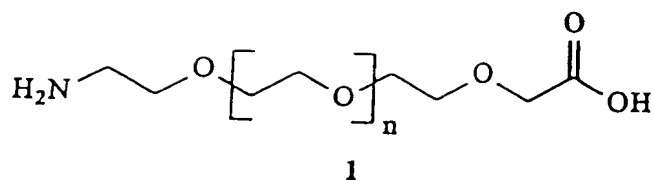


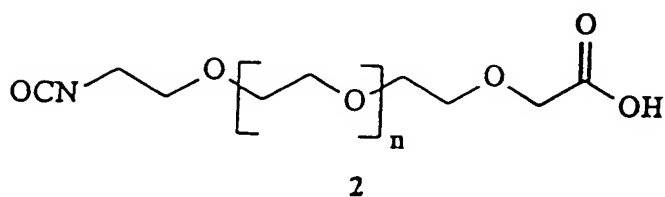
FIG. 5

Replacement Sheet

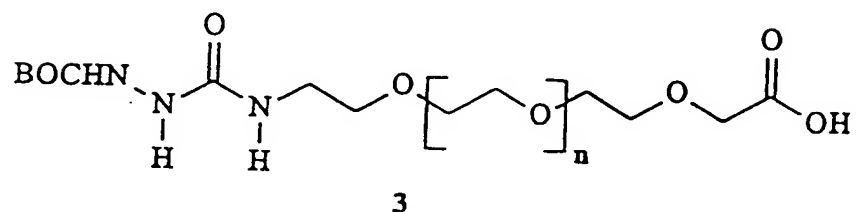
Sheet 6 of 9



phosgene, Et₃N, THF

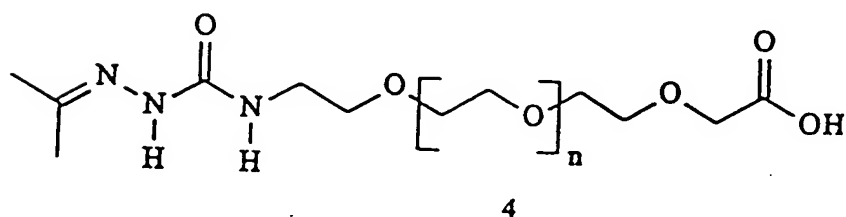


t-butyl carbazate, THF



1) HCl/dioxane

2) acetone, MeOH



NHS, DCC, THF

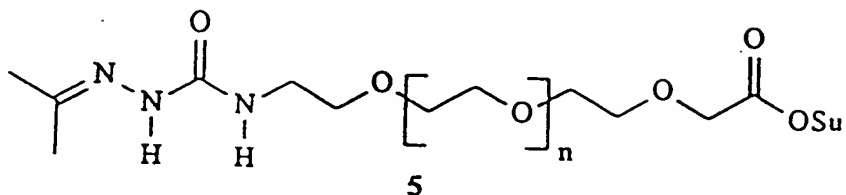


FIG. 6

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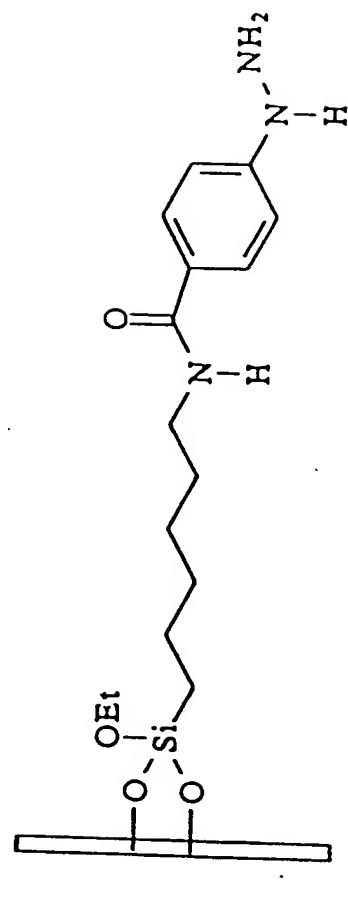
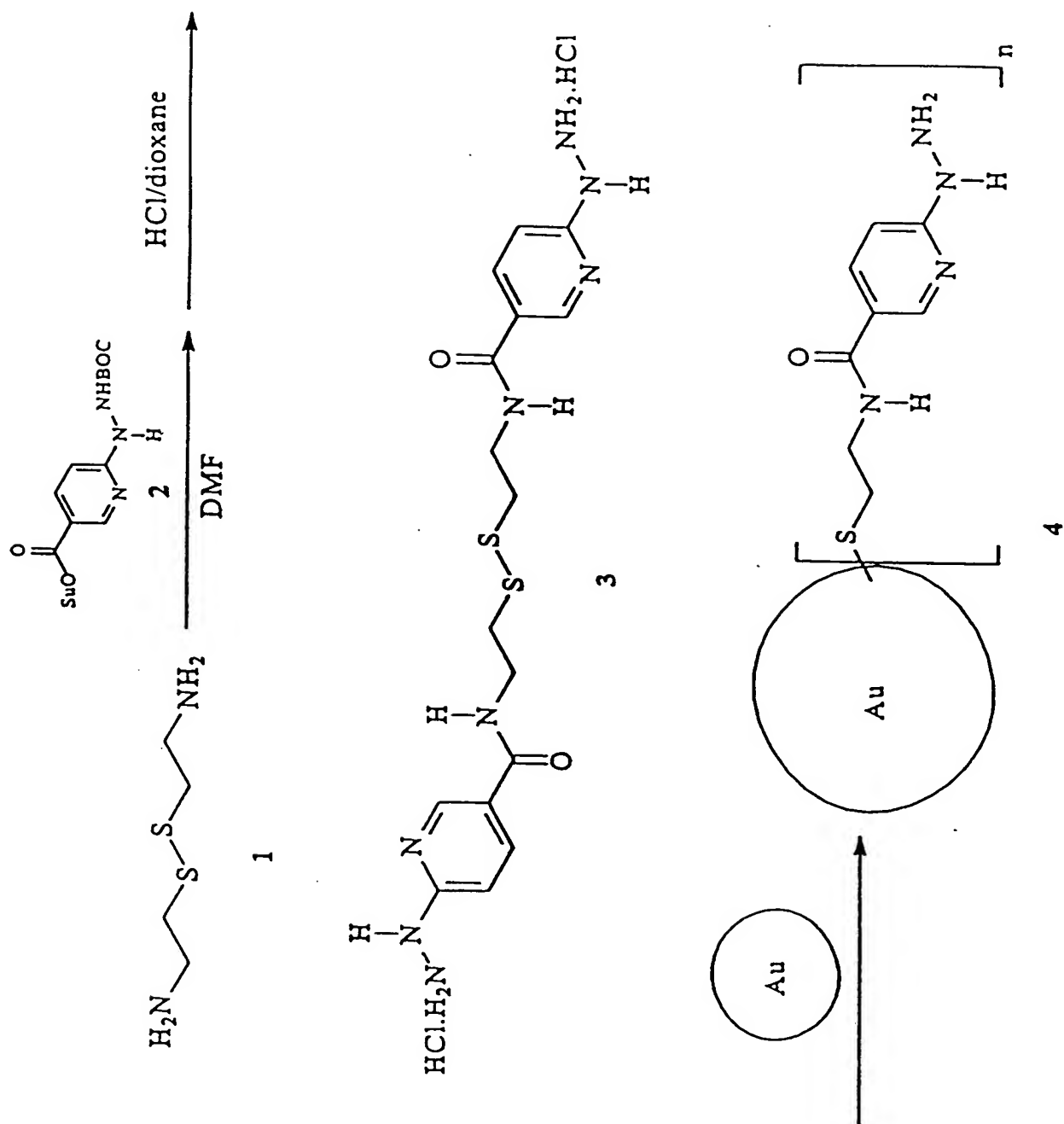


FIG. 7

4

Replacement Sheet

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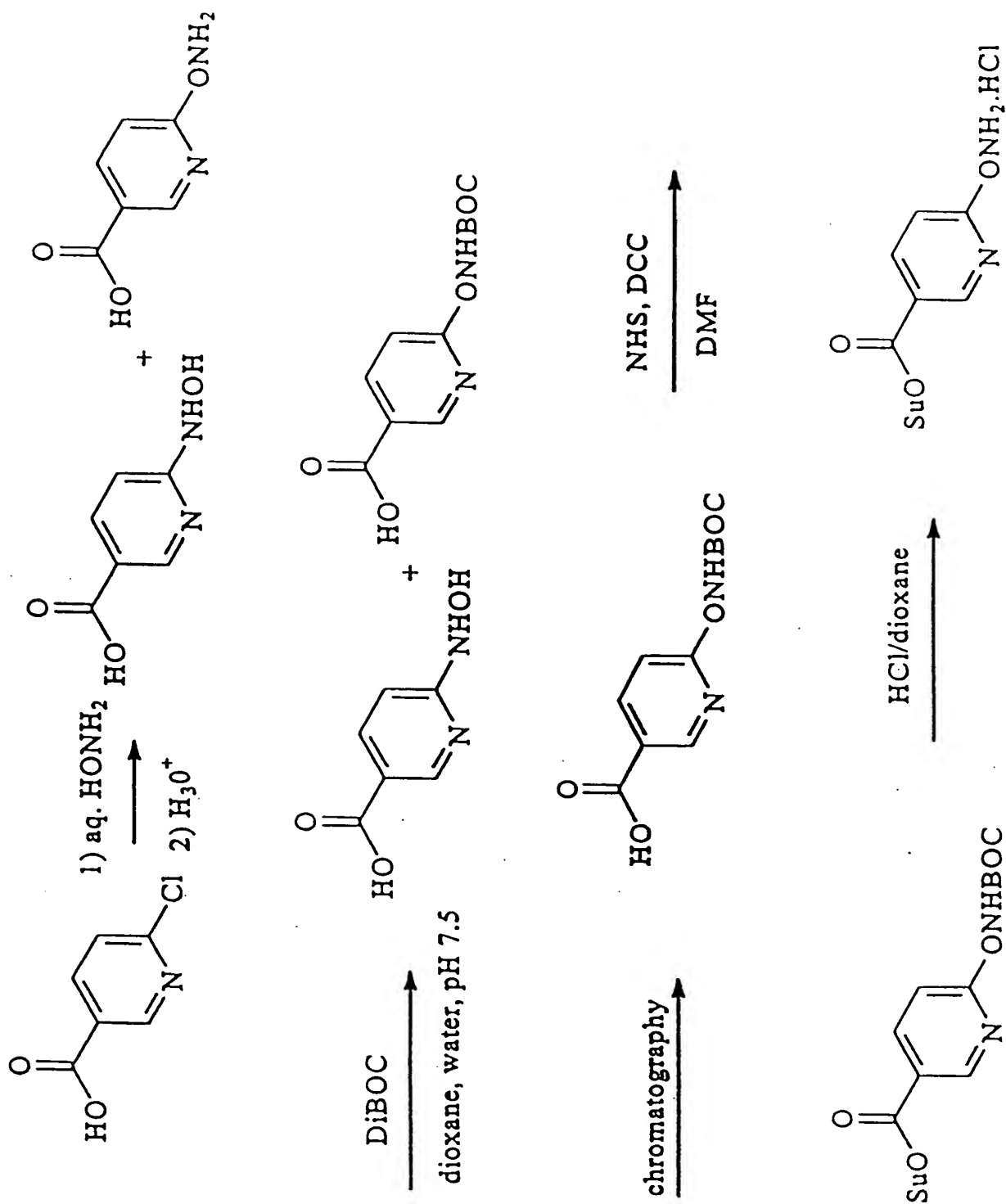


FIG. 9